

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2	("6949584").PN.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:01
L2	438	(514/475).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:02
L3	6	TNP-470 adj conjugate	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:10
L4	11	Ronit.inv. and Satchi.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:12
L5	120	Judah.inv. and Folkman.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:11
L6	11	Ronit.inv. and Satchi.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:12

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2	("6949584").PN.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:01
L2	438	(514/475).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:02
L3	6	TNP-470 adj conjugate	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:10
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L5	120	Judah.inv. and Folkman.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:11
L6	11	Ronit.inv. and Satchi.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2006/10/02 16:12

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NEWS 19	SEP 21	CA/CAPplus fields enhanced with simultaneous left and right truncation
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NEWS 24	OCT 02	MARPAT(R) now updated daily
NEWS EXPRESS	JUNE 30	CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
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FILE LAST UPDATED: 1 Oct 2006 (20061001/ED)

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=> s TNP-470

2450 TNP

23366 470

L1 487 TNP-470

(TNP(W) 470)

=> s l1 and plymer

1 PLYMER

L2 0 L1 AND PLYMER

=> s l1 and polymer

1088156 POLYMER

L3 8 L1 AND POLYMER

=> s l1 and conjugate

66197 CONJUGATE

L4 14 L1 AND CONJUGATE

=> s l4 or l3

L5 17 L4 OR L3

=> d l5 1-17 bib ABS

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:796478 CAPLUS

10/511,009

DN 145:180960  
TI Method for monitoring early treatment response  
IN Norfray, Joseph F.  
PA Receptomon, LLC, USA  
SO U.S. Pat. Appl. Publ., 12pp., Cont.-in-part of U.S. Ser. No. 53,059.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006177378	A1	20060810	US 2005-193037	20050729
	US 2006177377	A1	20060810	US 2005-53059	20050208
	WO 2006086159	A2	20060817	WO 2006-US2675	20060126
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2005-53059	A2	20050208		
	US 2005-193037	A	20050729		

AB Disclosed is a method for monitoring early treatment response of a cancer treatment comprising measuring by magnetic resonance spectroscopy (MRS), for example, proton MRS, the amount of Choline present in the tissue adjoining or surrounding the cancerous tissue before and after treatment; the treatment comprises administration of an angiogenesis inhibitor, for example, a VEGF inhibitor, whereby a decrease in the amount of Choline after treatment is indicative of a pos. response. The decrease in the amount of Choline represents the decrease in the internal cell membrane as a result of down regulation of the organelles and their secretory granules and their transport vesicles. Disclosed also is a method for determining effectiveness of an angiogenesis inhibitor in the treatment of cancer. Also disclosed are methods of monitoring early treatment response in diseases where an angiogenesis effector, i.e., an inhibitor or promoter of angiogenesis, is employed. Also disclosed is a method for monitoring protein translation related to angiogenesis.

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:796477 CAPLUS  
DN 145:180959  
TI Method for monitoring early treatment response  
IN Norfray, Joseph F.  
PA Receptomon, LLC., USA  
SO U.S. Pat. Appl. Publ., 11pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006177377	A1	20060810	US 2005-53059	20050208
	US 2006177378	A1	20060810	US 2005-193037	20050729
	WO 2006086159	A2	20060817	WO 2006-US2675	20060126
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-53059 A2 20050208  
US 2005-193037 A 20050729

AB Disclosed is a method for monitoring early treatment response of a cancer treatment comprising measuring by magnetic resonance spectroscopy (MRS), for example, proton MRS, the amount of Choline present in the tissue adjoining or surrounding the cancerous tissue before and after treatment; the treatment comprises administration of an angiogenesis inhibitor, for example, a VEGF inhibitor, whereby a decrease in the amount of Choline after treatment is indicative of a pos. response. The decrease in the amount of Choline represents the decrease in the internal cell membrane as a result of down regulation of the organelles and their secretory granules and their transport vesicles. Disclosed also is a method for determining effectiveness of an angiogenesis inhibitor in the treatment of cancer. Also disclosed are methods of monitoring early treatment response in diseases where an angiogenesis effector, i.e., an inhibitor or promoter of angiogenesis, is employed.

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:790844 CAPLUS

DN 145:202923

TI Method using an anti-VEGF monoclonal antibody and a HPMA copolymer-TNP-470 conjugate for treatment of angiogenic diseases

IN Folkman, Judah; Satchi-Fainaro, Ronit

PA Children's Medical Center Corporation, USA

SO PCT Int. Appl., 45pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006084054	A2	20060810	WO 2006-US3712	20060202
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI US 2005-649235P P 20050202

AB The invention discloses methods for treating cancer and angiogenic diseases comprising administering an anti-VEGF (vascular endothelial growth factor) monoclonal antibody (e.g. Avastin) and a N-(2-hydroxypropyl)methacrylamide (HPMA) copolymer-TNP-

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470 conjugate (e.g. Caplostatin) to a patient in need thereof. Preparation of HPMa copolymer-TNP-470 conjugate is described.

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:736387 CAPLUS  
DN 145:180945  
TI Lipocalin 2 in reversing epithelial to mesenchymal transition and for treatment or prevention of cancer metastasis, angiogenesis, and fibrosis  
IN Sukhatme, Vikas P.; Karumanchi, S. Ananth; Seth, Pankaj; Hanai, Junichi; Mammoto, Tadanori; Barasch, Jonathan; Mori, Kiyoshi  
PA Beth Israel Deaconess Medical Center, USA  
SO PCT Int. Appl., 140 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006078717	A2	20060727	WO 2006-US1738	20060119
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PRAI US 2005-645438P P 20050119

AB The invention shows lipocalin 2 in reversing epithelial to mesenchymal transition and for treatment or prevention of cancer metastasis, angiogenesis, and fibrosis. Lipocalin 2 suppresses cell invasiveness, blocks VEGF production and induces thrombospondin, thereby inhibiting many of the signaling pathways and processes that contribute to angiogenesis and metastasis.

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:515900 CAPLUS  
DN 145:1037  
TI Method and composition using agents increasing intracellular accumulation of NADH + H<sup>+</sup> for enhancing anti-angiogenic therapy  
IN Ben-Sasson, Shmuel A.  
PA Yissum Research Development Company of the Hebrew University of Jerusalem, Israel  
SO PCT Int. Appl., 32 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006056889	A2	20060601	WO 2005-IB4069	20051005
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,			

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SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,  
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

PRAI US 2004-616348P P 20041006

AB The invention relates to the discovery that agents that increase intracellular accumulation of NADH + H<sup>+</sup> enhance the anticancer effects of angiogenesis inhibitors. Furthermore, treatment of a mammal with a combination of at least one angiogenesis inhibitor and at least one agent that enhances intracellular accumulation of NADH + H<sup>+</sup> allows for the enhanced treatment and/or prevention of angiogenic diseases and disorders.

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1005963 CAPLUS

DN 143:279399

TI antiangiogenic compounds for treating disorders associated with vascular permeability

IN Soker, Shay; Satchi-Fainaro, Ronit

PA USA

SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of Appl. No. PCT/US03/11265.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005203013	A1	20050915	US 2004-962723	20041012
	WO 2003086178	A2	20031023	WO 2003-US11265	20030411
	WO 2003086178	A3	20040108		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2002-371841P P 20020411

WO 2003-US11265 A2 20030411

AB The invention relates to methods for decreasing or inhibiting disorders associated with vascular hyperpermeability and to methods of screening for compds. that affect permeability, angiogenesis and stabilize tight junctions. In one aspect of the invention there is provided a method of decreasing or inhibiting vascular hyperpermeability in an individual in need of such treatment. The method includes administering to the individual an effective amount of an antiangiogenic compound selected from the group consisting of endostatin, thrombospondin, angiostatin, tumstatin, arrestin, recombinant EPO and polymer conjugated TNP-470. Other antiangiogenic compds. are disclosed herein.

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:294991 CAPLUS

DN 143:19427

TI Inhibition of vessel permeability by TNP-470 and its polymer conjugate, caplostatin

AU Satchi-Fainaro, Ronit; Mamluk, Roni; Wang, Ling; Short, Sarah M.; Nagy,



Janice A.; Feng, Dian; Dvorak, Ann M.; Dvorak, Harold F.; Puder, Mark; Mukhopadhyay, Debabrata; Folkman, Judah

CS Vascular Biology Program, Department of Surgery, Children's Hospital Boston and Harvard Medical School, Karp Family Research Laboratories, Boston, MA, 02115, USA

SO Cancer Cell (2005), 7(3), 251-261  
CODEN: CCAECI; ISSN: 1535-6108

PB Cell Press

DT Journal

LA English

AB Angiogenesis inhibitors, such as TNP-470 and the nontoxic HPMa copolymer-TNP-470 (caplostatin), are emerging as a class of anticancer drugs. The authors report that TNP-470 and caplostatin inhibit vascular hyperpermeability of tumor blood vessels as well as that induced in mouse skin by different mediators. Treatment with TNP-470 or angiostatin for 3 days was sufficient to reduce permeability of tumor blood vessels, delayed-type hypersensitivity, and pulmonary edema induced by IL-2. TNP-470 also inhibited VPF/VEGF-induced phosphorylation of VEGFR-2, calcium influx, and RhoA activation in endothelial cells. These results identify an activity of TNP-470, that of inhibiting vessel hyperpermeability. This activity likely contributes to TNP-470's antiangiogenic effect and suggests that caplostatin can be used in the treatment of cancer and inflammation.

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:1036851 CAPLUS

DN 142:696

TI Synergistic treatment of cancer using immunomers in conjunction with chemotherapeutic agents

IN Kandimalla, Ekambar R.; Agrawal, Sudhir; Wang, Daqin

PA Hybridon, Inc., USA

SO PCT Int. Appl., 106 pp.  
CODEN: PIXXD2

DT Patent

LA English

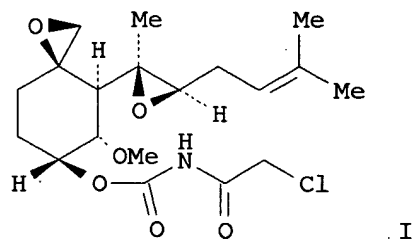
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004103301	A2	20041202	WO 2004-US15313	20040514
	WO 2004103301	A3	20051103		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2004241093	A1	20041202	AU 2004-241093	20040514
	CA 2526212	AA	20041202	CA 2004-2526212	20040514
	US 2005009773	A1	20050113	US 2004-846167	20040514
	EP 1628531	A2	20060301	EP 2004-752345	20040514
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			

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PRAI US 2003-471247P P 20030516  
WO 2004-US15313 W 20040514  
OS MARPAT 142:696  
AB The invention discloses the therapeutic use of immunostimulatory oligonucleotides and/or immunomers in combination with chemotherapeutic agents to provide a synergistic therapeutic effect.  
  
L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:999688 CAPLUS  
DN 141:428019  
TI TNP-470 species polymer conjugates  
preparation as angiogenesis inhibitors  
IN Satchi-Fainaro, Ronit; Folkman, Judah  
PA Children's Medical Center Corporation, USA  
SO U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl. No. PCT/US03/10976.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004229945	A1	20041118	US 2004-783986	20040219
	US 6949584	B2	20050927		
	WO 2003086382	A1	20031023	WO 2003-US10976	20030410
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2006020024	A1	20060126	US 2005-185538	20050720
PRAI	US 2002-371791P	P	20020411		
	US 2002-414705P	P	20020930		
	WO 2003-US10976	A2	20030410		
	US 2004-783986	A1	20040219		
OS	MARPAT 141:428019				
GI					



AB The present invention relates to conjugates of water-soluble polymers and o-(chloroacetyl-carbamoyl) fumagillol (TNP-470) (I) and use of those conjugates as specific intracellular carriers of the TNP-470 into tumor vessels. The present invention further relates to use of those conjugates to lower the neurotoxicity of TNP-470. Most preferably, the polymer has a mol. weight in the range of 15 kDa to 40 kDa. A random copolymer of HPMa and

10/511,009

methacryloyl-Gly-Phe-Leu-Gpy p-nitrophenyl ester was prepared, treated with ethylenediamine linker, and then with I to give the conjugate. Antitumor and angiogenesis activity of the conjugate were given.

RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

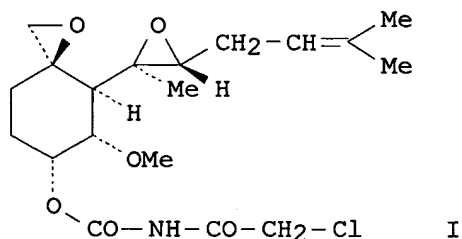
L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:161479 CAPLUS  
DN 140:368238  
TI Targeting angiogenesis with a conjugate of HPMa copolymer and TNP-470  
AU Satchi-Fainaro, Ronit; Puder, Mark; Davies, John W.; Tran, Hai T.; Sampson, David A.; Greene, Arin K.; Corfas, Gabriel; Folkman, Judah  
CS Vascular Biology Program and Department of Surgery, Boston Children's Hospital and Harvard Medical School, Boston, MA, 02115, USA  
SO Nature Medicine (New York, NY, United States) (2004), 10(3), 255-261  
CODEN: NAMEFI; ISSN: 1078-8956  
PB Nature Publishing Group  
DT Journal  
LA English  
AB Angiogenesis is crucial for tumor growth. Angiogenesis inhibitors, such as O-(chloroacetyl-carbamoyl) fumagillol (TNP-470), are thus emerging as a new class of anticancer drugs. In clin. trials, TNP-470 slowed tumor growth in patients with metastatic cancer. However, at higher doses necessary for tumor regression, many patients experienced neurotoxicity. We therefore synthesized and characterized a water-soluble conjugate of N-(2-hydroxypropyl)methacrylamide (HPMA) copolymer, Gly-Phe-Leu-Gly linker and TNP-470. This conjugate accumulated selectively in tumor vessels because of the enhanced permeability and retention (EPR) effect. HPMA copolymer-TNP-470 substantially enhanced and prolonged the activity of TNP-470 in vivo in tumor and hepatectomy models. Polymer conjugation prevented TNP-470 from crossing the blood-brain barrier (BBB) and decreased its accumulation in normal organs, thereby avoiding drug-related toxicities. Treatment with TNP-470 caused weight loss and neurotoxic effects in mice, whereas treatment with the conjugate did not. This new approach for targeting angiogenesis inhibitors specifically to the tumor vasculature may provide a new strategy for the rational design of cancer therapies.

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:836837 CAPLUS  
DN 139:328369  
TI TNP-470 conjugates with HPMA copolymer as angiogenesis inhibitors  
IN Satchi-Fainaro, Ronit; Folkman, Judah  
PA Children's Medical Center Corporation, USA  
SO PCT Int. Appl., 46 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086382	A1	20031023	WO 2003-US10976	20030410
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2480666 AA 20031023 CA 2003-2480666 20030410  
 AU 2003230852 A1 20031027 AU 2003-230852 20030410  
 EP 1494662 A1 20050112 EP 2003-723958 20030410  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 US 2005169881 A1 20050804 US 2003-511009 20030410  
 JP 2005529870 T2 20051006 JP 2003-583402 20030410  
 US 2004229945 A1 20041118 US 2004-783986 20040219  
 US 6949584 B2 20050927  
 US 2006020024 A1 20060126 US 2005-185538 20050720  
 PRAI US 2002-371791P P 20020411  
 US 2002-414705P P 20020930  
 WO 2003-US10976 W 20030410  
 US 2004-783986 A1 20040219  
 GI



AB The present invention relates to conjugates of water-soluble polymers and o-(chloroacetylcarbonyl)fumagillol (TNP-470) and use of those conjugates as specific intracellular carriers of the TNP-470 into tumor vessels. The present invention further relates to use of those conjugates to lower the neurotoxicity of TNP-470. Most preferably, the polymer has a mol. weight in the range of 15 kDa to 40 kDa. HPMA copolymer with methacryloyl-Gly-Phe-Leu-Gly p-nitrophenyl ester was prepared, aminolyzed with ethylene diamine and reacted with TNP-470 (I) to give the conjugate. The conjugate was tested for antitumor activity and angiogenesis inhibition in the Miles assay.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:836749 CAPLUS  
 DN 139:302515  
 TI Methods for treating edema-related diseases by modulating vascular hyperpermeability, angiogenesis and tight junctions  
 IN Soker, Shay; Satchi-Fainaro, Ronit  
 PA Children's Medical Center Corporation, USA  
 SO PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

## FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086178	A2	20031023	WO 2003-US11265	20030411
	WO 2003086178	A3	20040108		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2480809	AA	20031023	CA 2003-2480809	20030411
	AU 2003226349	A1	20031027	AU 2003-226349	20030411
	EP 1494699	A2	20050112	EP 2003-746738	20030411
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	JP 2006506321	T2	20060223	JP 2003-583209	20030411
	US 2005112063	A1	20050526	US 2004-962901	20041012
	US 2005203013	A1	20050915	US 2004-962723	20041012
PRAI	US 2002-371841P	P	20020411		
	WO 2003-US11265	W	20030411		

AB The present invention relates to methods for decreasing or inhibiting disorders associated with vascular hyperpermeability and to methods of screening for compds. that affect permeability, angiogenesis and stabilize tight junctions. In one aspect of the present invention there is provided a method of decreasing or inhibiting vascular hyperpermeability in an individual in need of such treatment. The method includes administering to the individual an effective amount of an antiangiogenic compound selected from the group consisting of endostatin, thrombospondin, angiostatin, tumstatin, arrestin, recombinant EPO and polymer conjugated TNP-470. Other antiangiogenic compds. are disclosed herein.

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:750448 CAPLUS  
 DN 139:281208  
 TI Targeting of myocardial angiogenesis through CD13/APN conjugates and use for diagnosis and therapy of cardiovascular diseases  
 IN Demuinck, Ebo D.; Shapiro, Linda H.  
 PA Cardiovascular Research Institute Maastricht, Neth.; St. Jude Children's Research Hospital  
 SO Eur. Pat. Appl., 33 pp.  
 CODEN: EPXXDW

DT Patent

LA English

## FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1346729	A1	20030924	EP 2002-76146	20020319
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	WO 2003078569	A2	20030925	WO 2003-NL207	20030319
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,			

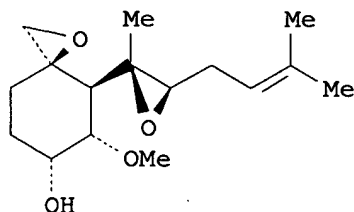
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003225405 A1 20030929 AU 2003-225405 20030319  
 PRAI EP 2002-76146 A 20020319  
 US 2002-365190P P 20020319  
 WO 2003-NL207 W 20030319

AB The present invention relates to conjugates comprising a CD13/APN homing mol. that is conjugated with a moiety for treating or diagnosing a cardiovascular disease. The invention further relates to methods in which these conjugates are used to target therapeutic agents or imaging mols. to the cardiovascular system. In particular the invention relates to conjugates comprising a CD13/APN homing mol. that is conjugated with angiogenesis-promoting factors for use in the treatment of ischemic myocardium or extremities. The invention also relates to conjugates comprising a CD13/APN homing mol. that is conjugated with a moiety that favorably alters wound healing after percutaneous arterial intervention. The invention further relates to conjugates comprising a CD13/APN homing mol. that is conjugated with a moiety that favorably alters atherosclerotic plaques, such as an angiogenesis inhibitor, for use in stabilizing or reducing the size of atherosclerotic plaques. Finally, the invention relates to conjugates comprising a CD13/APN homing mol. that is conjugated with an imaging moiety for use in diagnostic methods comprising vascular imaging.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:101908 CAPLUS  
 DN 138:401909  
 TI Concise stereocontrolled routes to fumagillol, fumagillin, and TNP-470  
 AU Vosburg, David A.; Weiler, Sven; Sorensen, Erik J.  
 CS Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA  
 SO Chirality (2003), 15(2), 156-166  
 CODEN: CHRLEP; ISSN: 0899-0042  
 PB Wiley-Liss, Inc.  
 DT Journal  
 LA English  
 OS CASREACT 138:401909  
 GI



AB A concise, diastereoselective synthesis of (±)-fumagillol (I) and formal, enantioselective syntheses of the potent angiogenesis inhibitors fumagillin and TNP-470 are reported. The origin of asymmetry is a highly diastereoselective Diels-Alder reaction using a

diene with a chiral oxazolidinone auxiliary. The stereochem. course of a key conjugate addition reaction is controlled by the cup-shaped architecture of a cis-fused bicyclic enal. Other key steps include a facile hetero-Claisen rearrangement and a site-selective Sharpless epoxidn.

RE.CNT 107 THERE ARE 107 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:935435 CAPLUS  
DN 136:84677  
TI Methods for enhancing antibody-induced cell lysis and treating cancer  
IN Weiner, George; Hartmann, Gunther  
PA University of Iowa Research Foundation, USA  
SO PCT Int. Appl., 312 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001097843	A2	20011227	WO 2001-US20154	20010622
	WO 2001097843	A3	20030123		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2410371	AA	20011227	CA 2001-2410371	20010622
	US 2003026801	A1	20030206	US 2001-888326	20010622
	EP 1296714	A2	20030402	EP 2001-948684	20010622
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2003535907	T2	20031202	JP 2002-503327	20010622
PRAI	US 2000-213346P	P	20000622		
	WO 2001-US20154	W	20010622		

AB The invention relates to methods and products for treating cancer. In particular the invention relates to combinations of nucleic acids and antibodies for the treatment and prevention of cancer. The invention also relates to diagnostic methods for screening cancer cells.

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2000:824135 CAPLUS  
DN 134:21434  
TI Enzyme-activated antitumor prodrug compounds  
IN Firestone, Raymond A.; Telan, Leila A.  
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 22 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000069472	A2	20001123	WO 2000-US10327	20000417
	WO 2000069472	A3	20010531		
	W:	CA, JP, MX			

10/511,009

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE  
CA 2370245 AA 20001123 CA 2000-2370245 20000417  
EP 1181055 A2 20020227 EP 2000-923446 20000417  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI  
JP 2002544242 T2 20021224 JP 2000-617932 20000417  
PRAI US 1999-134135P P 19990514  
WO 2000-US10327 W 20000417

AB Disclosed are enzyme-activated antitumor and antimetastatic prodrug  
comps. The specific enzymes are collagenase(IV) and elastase. The  
conjugate N-Cbz-Gly-Phe-Ala-Leu-doxorubicin was prepared and the  
cleavage of this and other conjugates by enzymes was determined

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:226788 CAPLUS

DN 120:226788

TI Drug delivery using biodegradable microspheres

AU Okada, Hiroaki; Yamamoto, Masaki; Heya, Toshiro; Inoue, Yayoi; Kamei,  
Shigeru; Ogawa, Yasuaki; Toguchi, Hajime

CS DDS Res. Lab., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SO Journal of Controlled Release (1994), 28(1-3), 121-9

CODEN: JCREEC; ISSN: 0168-3659

DT Journal

LA English

AB Rational delivery systems for leuporelin acetate, a potent LHRH agonist,  
were achieved by developing a microsphere system using biodegradable  
polymers, poly(lactic/glycolic acid) (PLGA) and poly(lactic acid), which  
release the drug in a sustained-release fashion depending on the  
biodegrdn. of polymer used and persistently suppress  
steroidogenesis for over 1 and 3 mo, resp., following a single injection.  
To produce these systems, the authors established a novel  
microencapsulation technique, the in-water drying method, and microspheres  
with a high trap ratio and small initial burst were obtained. A  
microsphere system of TRH prepared using PLGA could also continuously  
release the drug for 2 or 4 wk. Using these systems effectively reduced  
the required dose compared with that needed with daily injection due to  
more continuous receptor hits on the target organs and could improve  
patient compliance. Chemoembolization using PLGA microspheres containing an  
angiogenesis inhibitor, TNP-470, resulted in dramatic  
regression of VX-2 carcinoma in rabbits. The microsphere system using  
biodegradable polymers is useful in designing controlled release delivery  
and targeted delivery to attain potent and rational therapy.

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

57.25

57.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-12.75

-12.75

STN INTERNATIONAL LOGOFF AT 14:57:08 ON 02 OCT 2006